PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

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(71) Applicant *(for all designated States except GB US)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: BUNNAGE, Mark, Edward [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). MAW, Graham, Nigel [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). RAWSON, David, James [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). WOOD, Anthony [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). MATHIAS, John, Paul [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). STREET, Stephen, Derek, Albert [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

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(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

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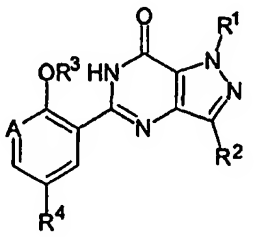
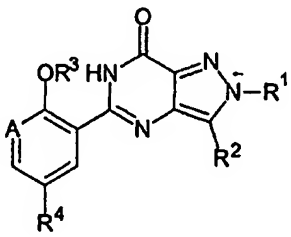
With international search report.

(54) Title: PYRAZOLOPYRIMIDINONE cGMP PDE5 INHIBITORS FOR THE TREATMENT OF SEXUAL DYSFUNCTION



(IA)



(IB)

(57) Abstract

There is provided compounds of formula (IA) and of formula (IB), wherein R^1, R^2, R^3, R^4 and A have meanings given in the description, which are useful in the curative and prophylactic treatment of medical conditions for which inhibition of a cyclic guanosine 3',5'–monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired.

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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(71) Applicant *(for GB only)*: **PFIZER LIMITED** [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

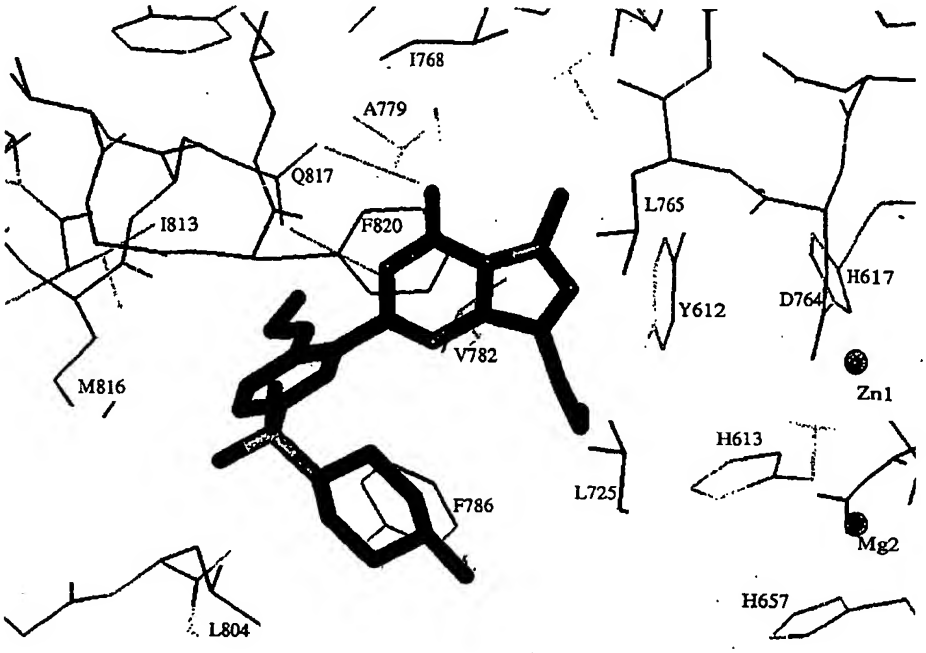
(71) Applicant *(for all designated States except GB, US)*: **PFIZER INC.** [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BROWN, David, Graham** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **GROOM, Colin, Roger** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **HOPKINS, Andrew, Lee** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **JENKINS, Timothy, Mark** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **KAMP, Sarah, Helen** [GB/GB]; U.K. Patent Department, Pfizer Limited, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **O'GARA, Margaret, Mary** [IE/FR]; Pfizer Global Research and Development, 3-9, rue de la Loge, B.P. 100, F-94265 Fresnes Cedex (FR). **RINGROSE, Heather, Joan** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **ROBINSON, Colin, Mark** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **TAYLOR, Wendy, Elaine** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

[Continued on next page]

(54) Title: CRYSTAL STRUCTURE OF PHOSPHODIESTERASE 5 AND USE THEREOF



(57) Abstract: The present invention relates , *inter alia* to the crystal structures of a phosphodiesterase 5 (PDE5) and PDE5/PDE5 ligand complex and their uses in identifying PDE5 ligands, including PDE5 inhibitor compounds. The present invention also relates to methods of identifying such PDE5 inhibitor compounds and their medical use. Also contemplated by the present invention are crystals of PDE5/PDE5 inhibitor complexes.

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INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 5 : C07D 487/04, A61K 31/505 // (C07D 487/04, 239:00, 231:00) — A1

(11) International Publication Number: WO 93/06104

(43) International Publication Date: 1 April 1993 (01.04.93)

(21) International Application Number: PCT/EP92/02068

(22) International Filing Date: 4 September 1992 (04.09.92)

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(71) Applicant *(for GB IE only)*: PFIZER LIMITED [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

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(75) Inventors/Applicants *(for US only)* : BROWN, David [GB/GB]; TERRETT, Nicholas, Kenneth [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: MOORE, James, William et al.; Pfizer Limited, Patents Department, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(81) Designated States: CA, FI, JP, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE).

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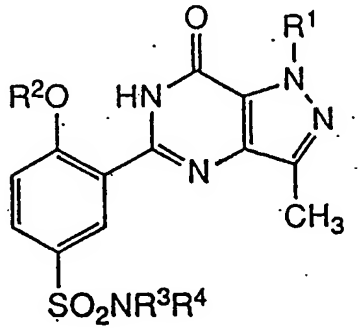
With international search report.

(54) Title: PYRAZOLOPYRIMIDINONE ANTIANGINAL AGENTS



(I)

(57) Abstract

Compounds of formula (I) and pharmaceutically acceptable salts thereof, wherein R^1 is methyl or ethyl; R^2 is ethyl or n-propyl; and R^3 and R^4 are each independently H, or C_1-C_6 alkyl optionally substituted with C_5-C_7 cycloalkyl or with morpholino; are selective cGMP PDE inhibitors useful in the treatment of cardiovascular disorders such as angina, hypertension, heart failure and atherosclerosis.

世界知的所有権機関
国 際 事 務 局

PCT

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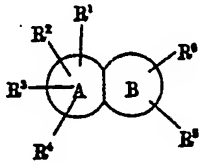
(81) 指定国
AT(欧州特許), AU, BE(欧州特許), CA, CH(欧州特許), DE(欧州特許), DK(欧州特許), ES(欧州特許), FI, FR(欧州特許), GB(欧州特許), GR(欧州特許), HU, IE(欧州特許), IT(欧州特許), JP, KR, LU(欧州特許), NL(欧州特許), NO, RU, SE(欧州特許), US.

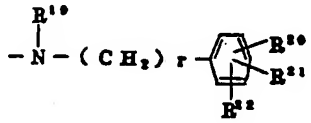
添付公開書類 国際調査報告書

(54) Title : NITROGENOUS HETEROCYCLIC COMPOUND

(54) 発明の名称 含窒素複素環化合物



(I)



(a)

(57) Abstract

A nitrogenous heterocyclic compound represented by general formula (I) or a pharmacologically acceptable salt thereof, efficacious in treating various ischemic cardiac diseases, wherein ring A represents a benzene, pyridine or cyclohexane ring; ring B represents a pyridine, pyrimidine or imidazole ring; R^1, R^2, R^3 and R^4 represent each hydrogen, halogen, lower alkoxy, etc.; R^5 represents $-NR^{11}R^{12}$ (wherein R^{11} and R^{12} represent each hydrogen, lower alkyl, etc.), etc.; and R^6 represents (a) (wherein R^{19} represents hydrogen, lower alkyl, etc.; R^{20}, R^{21} and R^{22} represent each hydrogen, halogen, hydroxy, etc.; and r represents an integer of 0.1 to 8), etc.

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A1

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(21) International Application Number: PCT/EP92/02237

(22) International Filing Date: 24 September 1992 (24.09.92)

(30) Priority data:
9121028.6 3 October 1991 (03.10.91) GB

(71) Applicant *(for GB IE only)*: PFIZER LIMITED [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(71) Applicant *(for all designated States except GB IE US)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, N.Y. 10017 (US).

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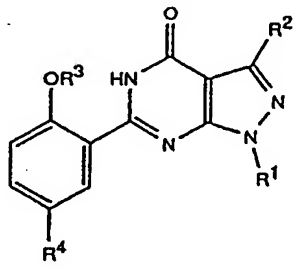
(75) Inventors/Applicants *(for US only)* : BELL, Andrew, Simon [GB/GB]; TERRETT, Nicholas, Kenneth [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: MOORE, James, William et al.; Pfizer Limited, Patents Department, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(81) Designated States: CA, FI, JP, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE).

Published
With international search report.

(54) Title: PYRAZOLOPYRIMIDINONE ANTIANGINAL AGENTS



(I)

(57) Abstract

Compounds of formula (I), and pharmaceutically acceptable salts thereof wherein R^1 is C_1-C_6 alkyl; R^2 is H, methyl or ethyl; R^3 is C_2-C_4 alkyl; R^4 is C_1-C_4 alkyl optionally substituted with NR^5R^6, CN, $CONR^5R^6$ or CO_2R^7; C_2-C_4 alkenyl optionally substituted with CN, $CONR^5R^6$ or CO_2R^7; C_2-C_4 alkanoyl optionally substituted with NR^5R^6; $SO_2NR^5R^6$; $CONR^5R^6$; CO_2R^7; or halo; R^5 and R^6 are each independently H or C_1-C_4 alkyl, or together with the nitrogen atom to which they are attached form a pyrrolidino, piperidino, morpholino, 4-(NR^8)-1-piperazinyl or 1-imidazolyl group wherein said group is optionally substituted by one or two C_1-C_4 alkyl groups; R^7 is H or C_1-C_4 alkyl; and R^8 is H, C_1-C_3 alkyl or hydroxy C_2-C_3 alkyl; are selective cGMP PDE inhibitors useful in the treatment of cardiovascular disorders such as angina hypertension, heart failure and atherosclerosis.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
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(51) International Patent Classification 5 :		(11) International Publication Number: WO 93/12095
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(71) Applicant *(for GB IE only)*: PFIZER LIMITED [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

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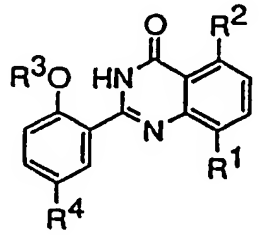
(75) Inventor/Applicant *(for US only)* : TERRETT, Nicholas, Kenneth [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: MOORE, James, William et al.; Pfizer Limited, Patents Department, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(81) Designated States: CA, FI, JP, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

Published
With international search report.

(54) Title: QUINAZOLINONE ANTIANGINAL AGENTS



(I)

(57) Abstract

Compounds of formula (I) and pharmaceutically acceptable salts thereof wherein R^1 is H, C_1-C_4 alkyl, C_1-C_4 alkoxy or $CONR^5R^6$; R^2 is H or C_1-C_4 alkyl; R^3 is C_2-C_4 alkyl; R^4 is H, C_2-C_4 alkanoyl optionally substituted with NR^7R^8, (hydroxy)C_2-C_4 alkyl optionally substituted with NR^7R^8, $CH=CHCO_2R^9$, $CH=CHCONR^7R^8$, $CH_2CH_2CO_2R^9$, $CH_2CH_2CONR^7R^8$, $SO_2NR^7R^8$, $SO_2NH(CH_2)_nNR^7R^8$ or imidazolyl; R^5 and R^6 are each independently H or C_1-C_4 alkyl; R^7 and R^8 are each independently H or C_1-C_4 alkyl, or together with the nitrogen atom to which they are attached form a pyrrolidino, piperidino, morpholino or 4-(NR^{10})-1-piperazinyl group wherein any of said groups is optionally substituted with $CONR^5R^6$; R^9 is H or C_1-C_4 alkyl; R^{10} is H, C_1-C_3 alkyl or (hydroxy)C_2-C_3 alkyl; and n is 2, 3 or 4; with the proviso that R^4 is not H when R^1 is H, C_1-C_4 alkyl or C_1-C_4 alkoxy; are selective cGMP PDE inhibitors useful in the treatment of cardiovascular disorders such as angina, hypertension, heart failure and atherosclerosis.

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9213623.3 26 June 1992 (26.06.92) GB

(71) Applicant *(for GB only)*: PFIZER LIMITED [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

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(72) Inventor; and
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(74) Agents: MOORE, James, William et al.; Pfizer Limited, Patents Department, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(81) Designated States: CA, FI, JP, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

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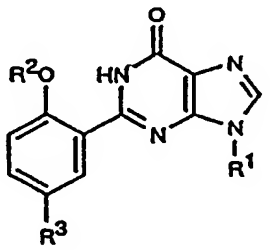
With international search report.

(54) Title: PURINONE ANTIANGINAL AGENTS



(I)

(57) Abstract

Compounds of formula (I), and pharmaceutically acceptable salts thereof, wherein R^1 is C_1-C_4 alkyl; R^2 is C_2-C_4 alkyl; R^3 is H or $SO_2NR^4R^5$; R^4 and R^5 together with the nitrogen atom to which they are attached form a pyrrolidino, piperidino, morpholino or 4-N-(R^6)-1-piperazinyl group; and R^6 is H or C_1-C_3 alkyl; are selective cGMP PDE inhibitors useful in the treatment of, *inter alia*, cardiovascular disorders such as angina, hypertension, heart failure and atherosclerosis.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

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(51) International Patent Classification 6 :
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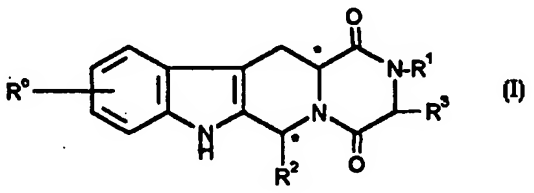
(81) Designated States: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD, SZ).

Published
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: TETRACYCLIC DERIVATIVES, PROCESS OF PREPARATION AND USE



(I)

(a)

(57) Abstract

A compound of formula (I) and salts and solvates thereof, in which: R^0 represents hydrogen, halogen or C_{1-6} alkyl; R^1 represents hydrogen, C_{1-6}alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, haloC_{1-6}alkyl, C_{3-8}cycloalkyl, C_{3-8}cycloalkylC_{1-3}alkyl, arylC_{1-3}alkyl or heteroarylC_{1-3}alkyl; R^2 represents an optionally substituted monocyclic aromatic ring selected from benzene, thiophene, furan and pyridine or an optionally substituted bicyclic ring (a) attached to the rest of the molecule via one of the benzene ring carbon atoms and wherein the fused ring (A) is a 5- or 6-membered ring which may be saturated or partially or fully unsaturated and comprises carbon atoms and optionally one or two heteroatoms selected from oxygen, sulphur and nitrogen; and R^3 represents hydrogen or C_{1-3} alkyl, or R^1 and R^3 together represent a 3- or 4-membered alkyl or alkenyl chain. A compound of formula (I) is a potent and selective inhibitor of cyclic guanosine 3',5'-monophosphate specific phosphodiesterase (cGMP specific PDE) having a utility in a variety of therapeutic areas where such inhibition is beneficial, including the treatment of cardiovascular disorders.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C12Q 1/68, C12P 19/34, G06T 1/00, 1/40, G06K 9/58, 9/40, 9/60, C07H 21/04, 19/04

A1

(11) International Publication Number: **WO 96/17958**

(43) International Publication Date: 13 June 1996 (13.06.96)

(21) International Application Number: PCT/US95/16155

(22) International Filing Date: 8 December 1995 (08.12.95)

(30) Priority Data:
08/353,018 9 December 1994 (09.12.94) US

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(72) Inventors: PINKEL, Daniel; 31 Manzanita Court, Walnut Creek, CA 94595 (US). GRAY, Joe, W.; 50 Santa Paula Avenue, San Francisco, CA 94127 (US). ALBERTSON, Donna; 42 Glisson Road, Cambridge CB1 2HF (GB).

(74) Agents: BASTIAN, Kevin, L. et al.; Townsend and Townsend and Crew, Steuart Street Tower, One Market, San Francisco, CA 94105-1492 (US).

(81) Designated States: CA, JP, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

Published
With international search report.

(54) Title: COMPARATIVE FLUORESCENCE HYBRIDIZATION TO NUCLEIC ACID ARRAYS

(57) Abstract

The present invention provides methods of determining relative copy number of target nucleic acids and precise mapping of chromosomal abnormalities associated with disease. The methods of the invention use target nucleic acids immobilized on a solid surface, to which a sample comprising two sets of differentially labeled nucleic acids are hybridized. The hybridization of the labeled nucleic acids to the solid surface is then detected using standard techniques.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C12N 15/54, 9/12, C12Q 1/68, C07K 16/40, C12N 5/12, G01N 33/68, C12Q 1/48, C07D 41/40, C07C 255/34, C07D 215/00, 239/72

A2

(11) International Publication Number: **WO 96/18738**

(43) International Publication Date: 20 June 1996 (20.06.96)

(21) International Application Number: PCT/US95/15846

(22) International Filing Date: 6 December 1995 (06.12.95)

(30) Priority Data:

08/357,642	15 December 1994 (15.12.94)	US
08/460,626	2 June 1995 (02.06.95)	US

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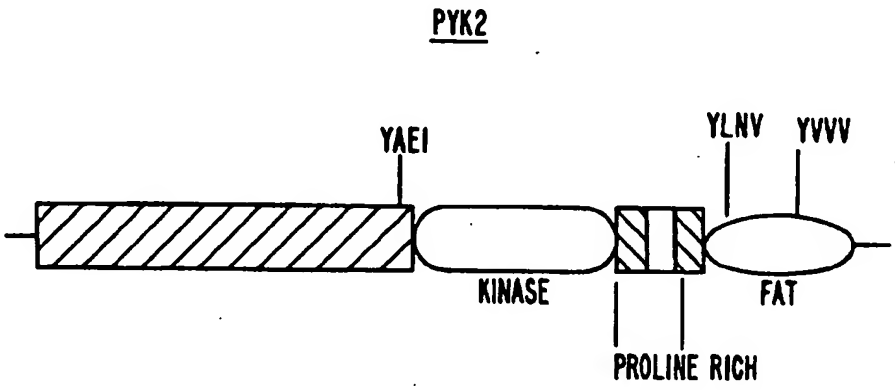
(72) Inventors: LEV, Sima; 8 Locksley Avenue #1C, San Francisco, CA 94122 (US). SCHLESSINGER, Joseph; 37 Washington Square, New York, NY 10011 (US).

(74) Agents: WARBURG, Richard, J. et al.; Lyon & Lyon, First Interstate World Center, Suite 4700, 633 West Fifth Street, Los Angeles, CA 90071-2066 (US).

(81) Designated States: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, LS, MW, SD, SZ, UG).

Published
Without international search report and to be republished upon receipt of that report.

(54) Title: PROBIN TYROSINE KINASE (PYK2) ITS cDNA CLONING AND ITS USES



(57) Abstract

The present invention features a method for treatment of an organism having a disease or condition characterized by an abnormality in a signal transduction pathway, wherein the signal transduction pathway includes a PYK2 protein. The invention also features methods for diagnosing such diseases and for screening for agents that will be useful in treating such diseases. The invention also features purified and/or isolated nucleic acid encoding a PYK2 protein.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : B01J 19/00, C12Q 1/68 — A1

(11) International Publication Number: **WO 97/46313**

(43) International Publication Date: 11 December 1997 (11.12.97)

(21) International Application Number: PCT/US97/09902

(22) International Filing Date: 6 June 1997 (06.06.97)

(30) Priority Data:
60/018,954 7 June 1996 (07.06.96) US

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(74) Agents: CHICKERING, Robert, B. et al.; Flehr Hohbach Test Albritton & Herbert LLP, Suite 3400, 4 Embarcadero Center, San Francisco, CA 94111-4187 (US).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

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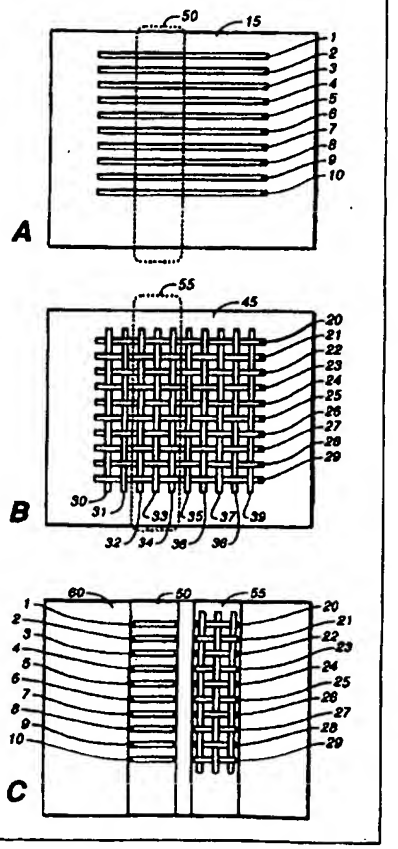
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: IMMOBILISED LINEAR OLIGONUCLEOTIDE ARRAYS

(57) Abstract

The present invention provides oligonucleotide arrays comprising a solid support comprising a plurality of different oligonucleotide pools. Each oligonucleotide pool is arranged in a distinct linear row to form an immobilised oligonucleotide stripe, wherein the length of each stripe is greater than its width. Composite arrays are also provided comprising at least one strip of a first array and at least one strip of a second array. Furthermore, the invention also provides methods for making the arrays and methods of detecting the presence or absence of a target sequence in a sample.



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PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07D 487/04, A61K 31/505, 31/415, C07D 231/40, 401/06

A1

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(21) International Application Number: PCT/EP98/02257

(22) International Filing Date: 10 April 1998 (10.04.98)

(30) Priority Data:

9708406.5	25 April 1997 (25.04.97)	GB
9715380.3	22 July 1997 (22.07.97)	GB
9722954.6	30 October 1997 (30.10.97)	GB

(71) Applicant *(for GB only)*: PFIZER LIMITED [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(71) Applicant *(for all designated States except GB US)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: BUNNAGE, Mark, Edward [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). MATHIAS, John, Paul [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). STREET, Stephen, Derek, Albert [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). WOOD, Anthony [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: HAYLES, James, Richard et al.; Pfizer Limited, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

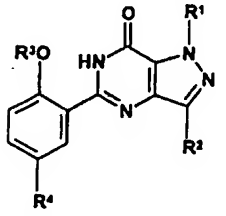
(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published

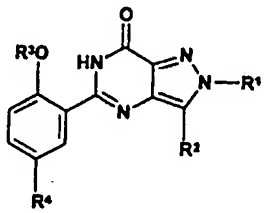
With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: PYRAZOLOPYRIMIDINONES WHICH INHIBIT TYPE 5 CYCLIC GUANOSINE 3',5'-MONOPHOSPHATE PHOSPHODIESTERASE (cGMP PDE5) FOR THE TREATMENT OF SEXUAL DYSFUNCTION



(IA)



(IB)

(57) Abstract

Compounds of formulae (IA) and (IB) or pharmaceutically or veterinarily acceptable salts thereof, or pharmaceutically or veterinarily acceptable solvates of either entity, wherein R^1 is C_1 to C_3 alkyl substituted with C_3 to C_6 cycloalkyl, $CONR^5R^6$ or a N-linked heterocyclic group; $(CH_2)_n$Het or $(CH_2)_n$Ar; R^2 is C_1 to C_6 alkyl; R^3 is C_1 to C_6 alkyl optionally substituted with C_1 to C_4 alkoxy; R^4 is $SO_2NR^7R^8$; R^5 and R^6 are each independently selected from H and C_1 to C_4 alkyl optionally substituted with C_1 to C_4 alkoxy, or, together with the nitrogen atom to which they are attached, form a 5- or 6-membered heterocyclic group; R^7 and R^8, together with the nitrogen atom to which they are attached, form a 4-R^{10}-piperazinyl group; R^{10} is H or C_1 to C_4 alkyl optionally substituted with OH, C_1 to C_4 alkoxy or $CONH_2$; Het is an optionally substituted C-linked 5- or 6-membered heterocyclic group; Ar is optionally substituted phenyl; and n is 0 or 1; are potent and selective cGMP PDE5 inhibitors useful in the treatment of, *inter alia*, male erectile dysfunction and female sexual dysfunction.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
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(51) International Patent Classification 6 : C12Q 1/68, C12P 19/34, C07H 21/04 — A1

(11) International Publication Number: WO 99/09217

(43) International Publication Date: 25 February 1999 (25.02.99)

(21) International Application Number: PCT/US98/16966

(22) International Filing Date: 14 August 1998 (14.08.98)

(30) Priority Data:

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08/947,779	9 October 1997 (09.10.97)	US
08/959,365	28 October 1997 (28.10.97)	US

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(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: DRMANAC, Radoje [YU/US]; 850 East Greenwich Place, Palo Alto, CA 94303 (US). DRMANAC, Snezana [YU/US]; 850 East Greenwich Place, Palo Alto, CA 94303 (US). BAIDYA, Narayan [IN/US]; 966 Helen Avenue #1, Sunnyvale, CA 94086 (US).

(74) Agents: ABRAMS, Samuel, B. et al.; Pennie & Edmonds LLP, 1155 Avenue of the Americas, New York, NY 10036 (US).

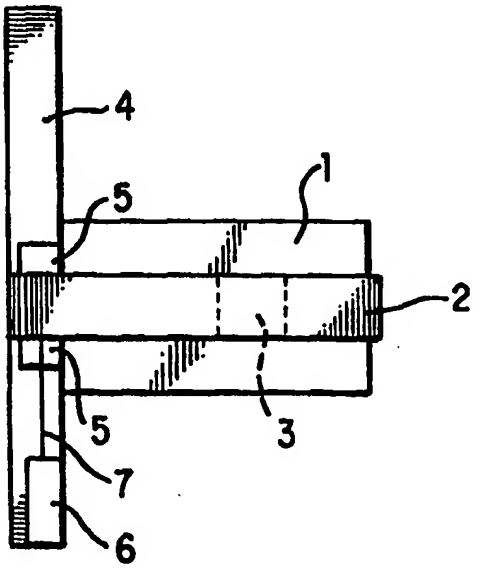
(81) Designated States: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: METHODS AND COMPOSITIONS FOR DETECTION OR QUANTIFICATION OF NUCLEIC ACID SPECIES

(57) Abstract

The present invention provides a method for detecting a target nucleic acid species using an array of probes affixed to a substrate and a plurality of labeled probes. The invention also relates to oligonucleotide probes attached to discrete particles wherein the particles can be grouped into a plurality of sets based on a physical property. A different probe is attached to the discrete particles of each set, and the identity of the probe is determined by identifying the discrete particles from their physical property. The invention further relates to methods using agents which destabilize the binding of complementary polynucleotide strands (decrease the binding energy) or increase stability of binding between complementary polynucleotide strands (increase the binding energy). The figure is an illustration of an apparatus for mass producing probe arrays.



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PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

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(51) International Patent Classification 6 : C12Q 1/68, C12P 19/34, C07H 21/02, 21/04 — A1

(11) International Publication Number: **WO 99/51773**

(43) International Publication Date: 14 October 1999 (14.10.99)

(21) International Application Number: PCT/US99/07203

(22) International Filing Date: 31 March 1999 (31.03.99)

(30) Priority Data:
60/080,686 3 April 1998 (03.04.98) US

(71) Applicant: PHYLOS, INC. [US/US]; 128 Spring Street, Lexington, MA 02421 (US).

(72) Inventors: KUIMELIS, Robert, G.; 21 Malbert Road, Brighton, MA 02135 (US). WAGNER, Richard; 1007 Lowell Road, Concord, MA 01742 (US).

(74) Agent: ELBING, Karen, L.; Clark & Elbing LLP, 176 Federal Street, Boston, MA 02110-2214 (US).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: ADDRESSABLE PROTEIN ARRAYS

(57) Abstract

Disclosed herein are arrays of nucleic acid–protein fusions which are immobilized to a solid surface through capture probes which include a non–nucleosidic spacer group and an oligonucleotide sequence to which the fusion (such as an RNA–protein fusion) is bound. Also disclosed herein are solid supports on which these arrays are immobilized as well as methods for their preparation and use (for example, for screening for protein–compound interactions such as protein–therapeutic compound interactions).

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 487/04, A61K 31/505, C07D 401/12 — A1

(11) International Publication Number: **WO 99/54333**

(43) International Publication Date: 28 October 1999 (28.10.99)

(21) International Application Number: PCT/IB99/00519

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(30) Priority Data:

9808315.7	20 April 1998 (20.04.98)	GB
9814187.2	30 June 1998 (30.06.98)	GB

(71) Applicant *(for all designated States except GB US)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

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(72) Inventors; and

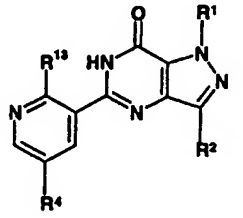
(75) Inventors/Applicants *(for US only)*: BUNNAGE, Mark, Edward [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). MATHIAS, John, Paul [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). STREET, Stephen, Derek, Albert [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). WOOD, Anthony [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: SPIEGEL, Allen, J. et al.; Pfizer Inc., 235 East 42nd Street, New York, NY 10017 (US).

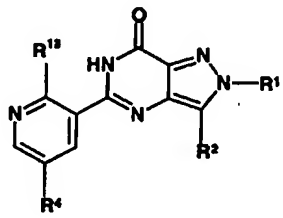
(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: PYRAZOLOPYRIMIDINONE CGMP PDE5 INHIBITORS FOR THE TREATMENT OF SEXUAL DYSFUNCTION



(IA)



(IB)

(57) Abstract

Compounds of formulae (IA) and (IB) wherein R^1 is C_1 to C_3 alkyl optionally substituted with phenyl, Het or a N–linked heterocyclic group selected from piperidinyl and morpholinyl; wherein said phenyl group is optionally substituted by one or more substitutents selected from C_1 to C_4 alkoxy; halo; CN; CF_3; OCF_3 or C_1 to C_4 alkyl wherein said C_1 to C_4 alkyl group is optionally substituted by C_1 to C_4 haloalkyl or haloalkoxy either of which is substituted by one or more halo atoms; R^2 is C_1 to C_6 alkyl and R^{13} is OR^3 or NR^5R^6, or pharmaceutically or veterinarily acceptable salts thereof, or pharmaceutically or veterinarily acceptable solvates of either entity are potent and selective inhibitors of type 5 cyclic guanosine 3',5'–monophosphate phosphodiesterase (cGMP PDE5) and have utility in the treatment of, inter alia, male erectile dysfunction (MED) and female sexual dysfunction (PSD).

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

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(10) International Publication Number
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(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0126417.5 2 November 2001 (02.11.2001) GB

(71) Applicant *(for GB only)*: **PFIZER LIMITED** [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

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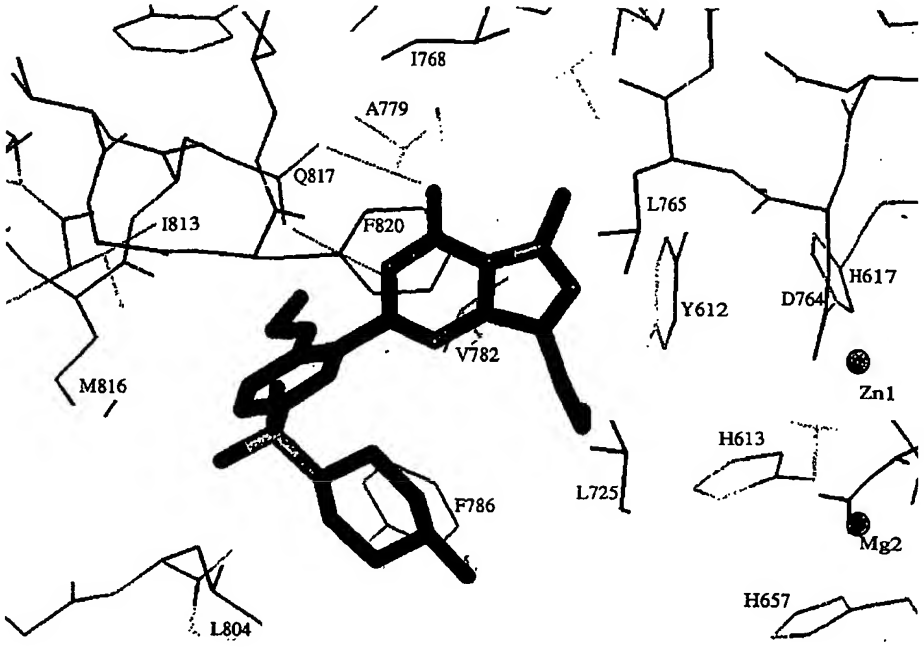
(54) Title: CRYSTAL STRUCTURE OF PHOSPHODIESTERASE 5 AND USE THEREOF



(57) Abstract: The present invention relates , *inter alia* to the crystal structures of a phosphodiesterase 5 (PDE5) and PDE5/PDE5 ligand complex and their uses in identifying PDE5 ligands, including PDE5 inhibitor compounds. The present invention also relates to methods of identifying such PDE5 inhibitor compounds and their medical use. Also contemplated by the present invention are crystals of PDE5/PDE5 inhibitor complexes.

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